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Super Antibiotics, Part VI: Hyperforin, Revision of Stereochemistry. Short Communications

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Abstract

This short communication is an overview and revision of previous published papers about the relative and absolute stereochemistry of hyperforin and discovery of a new isomer of hyperforin that has been named perforatrin.

Keywords

Revision, Relative and Absolute Stereochemistry, Hyperforin, Perforatrin

1. Introduction

The antibiotic properties of the antibacterial substances known to be present in species of the genus Hypericum (*Hypericaceae* (*Guttiferae*)), is well established. Extracts from the plant *Hypericum perforatum* L. have been used to preserve food [1], treat infections [2], as immunomodulating agent [3] [4] [5] [6] and for many other medical purposes. One active constituent designated hyperforin, was isolated and characterized in 1971 [7]. The absolute configuration was suggested in 1975-1976 [8]. The report [9] presents arguments suggesting that a crystal structures determination of the 3.5-dinitrobenzoate ester [10] and *p*-bromobenzoate ester [11] are in contradiction with the proposed absolute configuration published in [8]. In order to obtain direct evidence for the absolute stereochemistry of hyperforin, a single crystal structure analysis of its *p*-bromobenzoate ester has been carried out [11] and has been supported by GC-MS [9]. In accordance to X-ray crystallography [11], it may be pointed out that the difference between the earlier suggested absolute configuration by Bystrov *et al.* [7] and absolute configuration [8] suggested also by Bystrov *et al.* in 1975-1976, the absolute configuration resolved by X-ray crystallography and presented by Brondz *et al.* in [11], is that stereochemistry at the two chiral centers is different. The same is supported by [10] [11] [12] [13] [14].

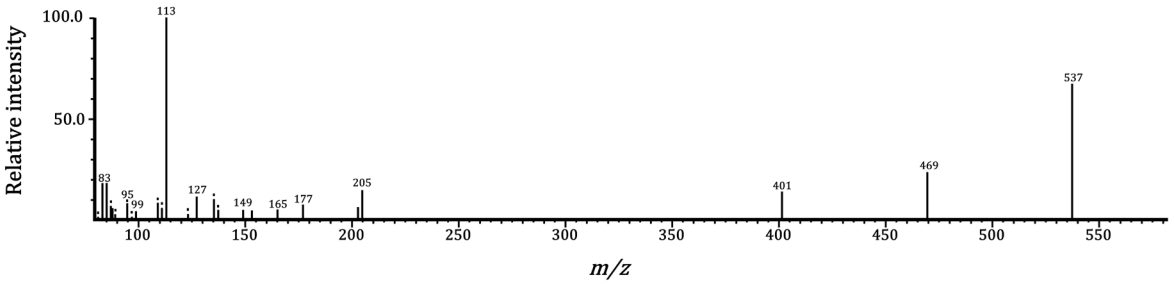

Figure 1. MS spectrum of hyperforin by using chemical ionization.

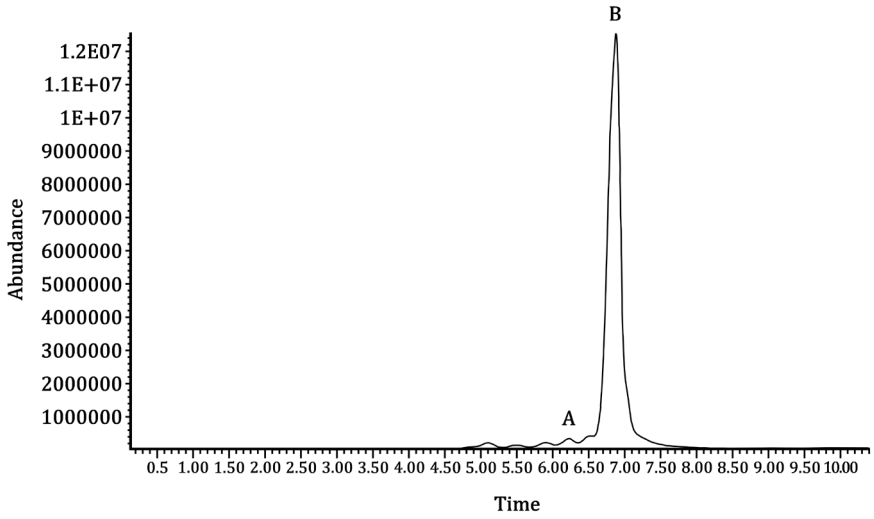

Figure 2. The peak A is perforatrin and peak B is hyperforin.

First time MS spectrum of hyperforin has been obtained by using chemical ionization (CI) [1] (**Figure 1**).

In following publication [9] has been discovered two isomers of hyperforin, the major is hyperforin and the minor was named perforatrin (**Figure 2**).

The stereochemistry proposed by Bystrov *et al.* in [7] and [8] was wrong, comparison of absolute configuration of hyperforin has been proposed by Brondz *et al.* [11] and supported by Brondz [9] [12]. In independent research [13] and [14] conclusively has been demonstrated correctness of stereochemistry of hyperforin proposed by Brondz in [9] [10] [11] [12].

Acknowledgements

Figure 1 and **Figure 2** was republished from publication [9].

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